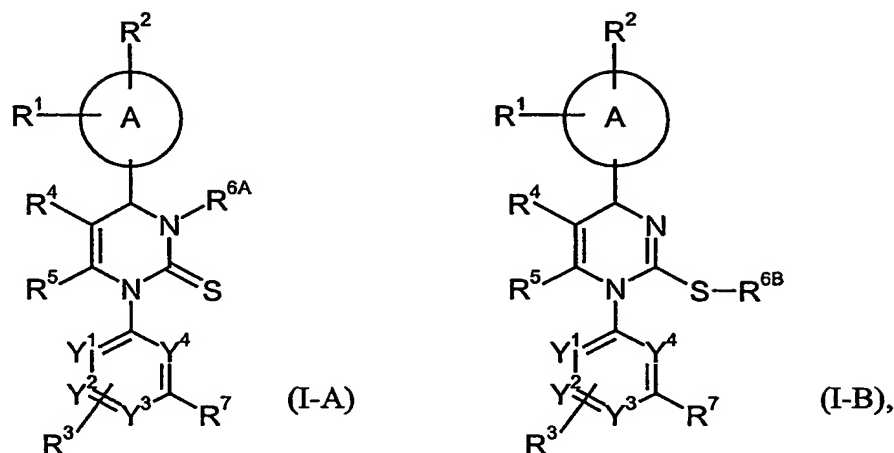


We claim

1. Compounds of the general formulas (I-A) and (I-B)



wherein

A represents an aryl or heteroaryl ring,

R^1 , R^2 and R^3 independently from each other represent hydrogen, halogen, nitro, cyano, C_1 - C_6 -alkyl, hydroxy or C_1 - C_6 -alkoxy, wherein C_1 - C_6 -alkyl and C_1 - C_6 -alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy and C_1 - C_4 -alkoxy,

R^4 represents C_1 - C_6 -alkyl, C_1 - C_6 -alkylcarbonyl, C_1 - C_6 -alkoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- or di- C_1 - C_4 -alkylaminocarbonyl, C_6 - C_{10} -arylaminocarbonyl, heteroarylcarbonyl, heterocyclcarbonyl, heteroaryl, heterocycl or cyano, wherein C_1 - C_6 -alkyl, C_1 - C_6 -alkylcarbonyl, C_1 - C_6 -alkoxycarbonyl, mono- and di- C_1 - C_4 -alkylaminocarbonyl can be further substituted with one to three identical or different radicals selected from the group consisting of C_3 - C_8 -cycloalkyl, hydroxy, C_1 - C_4 -alkoxy, C_1 - C_4 -alkoxycarbonyl,

hydroxycarbonyl, aminocarbonyl, mono- and di-C₁-C₄-alkylamino-carbonyl, C₁-C₄-alkylcarbonylamino, amino, mono- and di-C₁-C₄-alkylamino, heteroaryl, heterocyclyl, tri-(C₁-C₆-alkyl)-silyl and cyano,

- 5 R⁵ represents C₁-C₄-alkyl, which can be substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy, C₁-C₆-alkoxy, C₂-C₆-alkenoxy, C₁-C₆-alkylthio, amino, mono- and di-C₁-C₆-alkylamino, arylamino, hydroxycarbonyl, C₁-C₆-alkoxycarbonyl and the radical -O-C₁-C₄-alkyl-O-C₁-C₄-alkyl,
- 10 R^{6A} represents hydrogen, C₁-C₆-alkylcarbonyl, C₃-C₈-cycloalkylcarbonyl, C₁-C₆-alkoxycarbonyl, mono- or di-C₁-C₄-alkylaminocarbonyl, wherein C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonyl, mono- and di-C₁-C₄-alkylaminocarbonyl can be substituted with one to three
- 15 identical or different radicals selected from the group consisting of C₃-C₈-cycloalkyl, hydroxy, C₁-C₄-alkoxy, amino, mono- and di-C₁-C₄-alkylamino,
- 20 R^{6B} represents C₁-C₆-alkyl, which can be substituted with one to three identical or different radicals selected from the group consisting of hydroxy, C₁-C₄-alkoxy, amino, mono- and di-C₁-C₄-alkylamino, C₁-C₄-alkoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- and di-C₁-C₄-alkylaminocarbonyl, C₁-C₄-alkylcarbonyloxy, amino-carbonyloxy, cyano, aryl, heteroaryl and heterocyclyl, wherein
- 25 heteroaryl and heterocyclyl can be further substituted with one to two identical or different radicals selected from the group consisting of C₁-C₄-alkyl, hydroxy and oxo,
- 30 R⁷ represents halogen, nitro, cyano, C₁-C₆-alkyl, hydroxy or C₁-C₆-alkoxy, wherein C₁-C₆-alkyl and C₁-C₆-alkoxy can be further sub-

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stituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy and C₁-C₄-alkoxy,

and

5

Y¹, Y², Y³ and Y⁴ independently from each other represent CH or N, wherein the ring contains either 0, 1 or 2 nitrogen atoms.

10

2. Compounds of general formulas (I-A) and (I-B) according to Claim 1, wherein

A represents an aryl or heteroaryl ring,

15

R¹, R² and R³ independently from each other represent hydrogen, halogen, nitro, cyano, C₁-C₆-alkyl, hydroxy or C₁-C₆-alkoxy, wherein C₁-C₆-alkyl and C₁-C₆-alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy and C₁-C₄-alkoxy,

20

R⁴ represents C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- or di-C₁-C₄-alkylaminocarbonyl, C₆-C₁₀-arylaminocarbonyl, heteroarylcarbonyl, heterocyclylcarbonyl, heteroaryl, heterocyclyl or cyano, wherein C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonyl, mono- and di-C₁-C₄-alkylaminocarbonyl can be further substituted with one to three identical or different radicals selected from the group consisting of C₃-C₈-cycloalkyl, hydroxy, C₁-C₄-alkoxy, C₁-C₄-alkoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- and di-C₁-C₄-alkylaminocarbonyl, C₁-C₄-alkylcarbonylamino, amino, mono- and di-C₁-C₄-alkylamino, heteroaryl, heterocyclyl and tri-(C₁-C₆-alkyl)-silyl,

25

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R⁵ represents C₁-C₄-alkyl, which can be substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy, C₁-C₆-alkoxy, C₂-C₆-alkenoxy, C₁-C₆-alkylthio, amino, mono- and di-C₁-C₆-alkylamino, arylamino, hydroxycarbonyl, C₁-C₆-alkoxycarbonyl and the radical -O-C₁-C₄-alkyl-O-C₁-C₄-alkyl,

R^{6A} represents hydrogen, C₁-C₆-alkylcarbonyl, C₃-C₈-cycloalkylcarbonyl, C₁-C₆-alkoxycarbonyl, mono- or di-C₁-C₄-alkylaminocarbonyl, wherein C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonyl, mono- and di-C₁-C₄-alkylaminocarbonyl can be substituted with one to three identical or different radicals selected from the group consisting of C₃-C₈-cycloalkyl, hydroxy, C₁-C₄-alkoxy, amino, mono- and di-C₁-C₄-alkylamino,

R^{6B} represents C₁-C₆-alkyl, which can be substituted with one to three identical or different radicals selected from the group consisting of hydroxy, C₁-C₄-alkoxy, amino, mono- and di-C₁-C₄-alkylamino, aryl, heteroaryl and heterocyclyl,

R⁷ represents halogen, nitro, cyano, C₁-C₆-alkyl, hydroxy or C₁-C₆-alkoxy, wherein C₁-C₆-alkyl and C₁-C₆-alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy and C₁-C₄-alkoxy,

and

Y¹, Y², Y³ and Y⁴ independently from each other represent CH or N, wherein the ring contains either 0, 1 or 2 nitrogen atoms.

3. Compounds of general formulas (I-A) and (I-B) according to Claim 1 or 2, wherein

A represents a phenyl or pyridyl ring,

R¹, R² and R³ independently from each other represent hydrogen, fluoro,
5 chloro, bromo, nitro, cyano, methyl, ethyl, trifluoromethyl or trifluoro-
methoxy,

R⁴ represents C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonyl, hydroxy-
carbonyl, aminocarbonyl, mono- or di-C₁-C₄-alkylaminocarbonyl or
10 cyano, wherein C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonyl and
mono-C₁-C₄-alkylaminocarbonyl can be substituted with one to three
identical or different radicals selected from the group consisting of
C₃-C₆-cycloalkyl, hydroxy, C₁-C₄-alkoxy, C₁-C₄-alkoxycarbonyl,
amino, mono- or di-C₁-C₄-alkylamino, heteroaryl and heterocyclyl,

15 R⁵ represents methyl or ethyl,

R^{6A} represents hydrogen, C₁-C₆-alkylcarbonyl or C₃-C₆-cycloalkylcarb-
onyl, wherein C₁-C₆-alkylcarbonyl can be substituted with a radical
20 selected from the group consisting of C₃-C₆-cycloalkyl, hydroxy,
C₁-C₄-alkoxy, amino, mono- and di-C₁-C₄-alkylamino,

R^{6B} represents C₁-C₆-alkyl, which can be substituted with a radical
selected from the group consisting of hydroxy, C₁-C₄-alkoxy, amino,
25 mono- and di-C₁-C₄-alkylamino, phenyl, heteroaryl and heterocyclyl,

R⁷ represents halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy,
methyl or ethyl,

30 and

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Y^1 , Y^2 , Y^3 and Y^4 each represent CH.

4. Compounds of general formulas (I-A) and (I-B) according to Claim 1, 2 or 3, wherein

5 A represents a phenyl or a pyridyl ring,

R^1 and R^3 each represent hydrogen,

10 R^2 represents fluoro, chloro, bromo, nitro or cyano,

R^4 represents C_1 - C_4 -alkylcarbonyl or C_1 - C_4 -alkoxycarbonyl, wherein C_1 - C_4 -alkoxycarbonyl can be substituted with a radical selected from the group consisting of hydroxy, C_1 - C_4 -alkoxy, C_1 - C_4 -alkoxycarbonyl, mono- and di- C_1 - C_4 -alkylamino, heteroaryl and heterocyclyl,

15

R^5 represents methyl,

R^{6A} represents hydrogen, C_1 - C_6 -alkylcarbonyl or C_3 - C_6 -cycloalkylcarbonyl,

20

R^{6B} represents C_1 - C_4 -alkyl, which can be substituted with a radical selected from the group consisting of hydroxy, C_1 - C_4 -alkoxy, amino, di- C_1 - C_4 -alkylamino, phenyl, pyridyl, imidazolyl, pyrrolidino and morpholino,

25

R^7 represents trifluoromethyl or nitro,

and

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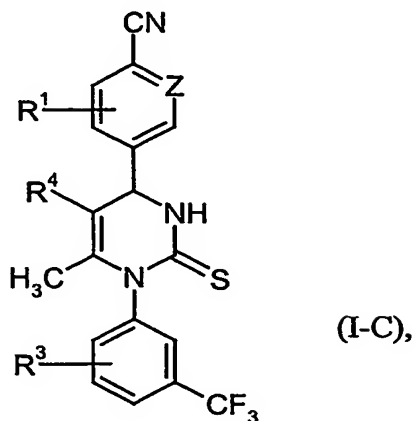
Y^1 , Y^2 , Y^3 and Y^4 each represent CH.

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5. Compounds of general formulas (I-A) and (I-B) according to at least one of Claims 1 to 4, wherein A is phenyl or pyridyl.
- 5 6. Compounds of general formulas (I-A) and (I-B) according to at least one of Claims 1 to 5, wherein R¹ is hydrogen.
7. Compounds of general formulas (I-A) and (I-B) according to at least one of Claims 1 to 6, wherein R² is cyano.
- 10 8. Compounds of general formulas (I-A) and (I-B) according to at least one of Claims 1 to 7, wherein R³ is hydrogen.
- 15 9. Compounds of general formulas (I-A) and (I-B) according to at least one of Claims 1 to 8, wherein R⁴ is C₁-C₄-alkoxycarbonyl, which can be substituted with dimethylamino, diethylamino, N-ethylmethylamino, pyrrolidino or piperidino, or wherein R⁴ is C₁-C₄-alkylcarbonyl.
- 20 10. Compounds of general formulas (I-A) and (I-B) according to at least one of Claims 1 to 9, wherein R⁵ is methyl.
11. Compounds of general formulas (I-A) and (I-B) according to at least one of Claims 1 to 10, wherein R⁷ is trifluoromethyl or nitro.
- 25 12. Compounds of general formula (I-A) according to at least one of Claims 1 to 11, wherein R^{6A} is hydrogen.
13. Compounds of general formula (I-B) according to at least one of Claims 1 to 11, wherein R^{6B} is methyl, (1H-imidazol-2-yl)methyl, 2-(diethylamino)ethyl, 2-hydroxyethyl, 3-hydroxypropyl and 2-(1-pyrrolidinyl)ethyl.
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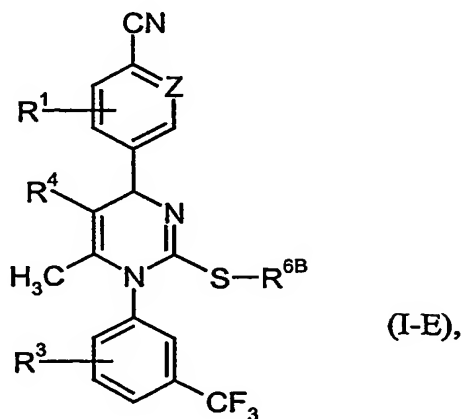
14. Compounds of general formula (I-C)



wherein

Z represents CH or N, and R¹, R³ and R⁴ have the meaning indicated in Claims 1 to 12.

15. Compounds of general formula (I-E)



wherein

Z represents CH or N,

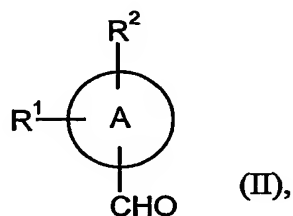
R¹, R³ and R⁴ have the meaning indicated above, and

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R^{6B} represents C_1 - C_4 -alkyl, which can be substituted with a radical selected from the group consisting of hydroxy, di- C_1 - C_4 -alkylamino, phenyl, pyridyl, imidazolyl, pyrrolidino and morpholino.

5

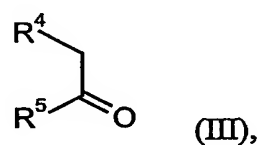
16. Process for synthesizing the compounds of general formulas (I-A), (I-B), (I-C) or (I-E), respectively, as defined in Claims 1 to 15, by condensing compounds of general formula (II)



10

wherein A, R^1 and R^2 have the meaning indicated in Claims 1 to 15,

with compounds of general formula (III)



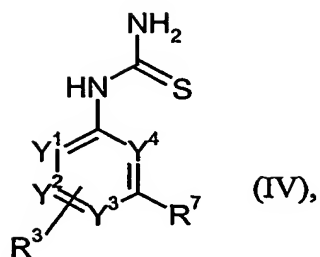
15

wherein R^4 and R^5 have the meaning indicated in Claims 1 to 15,

20

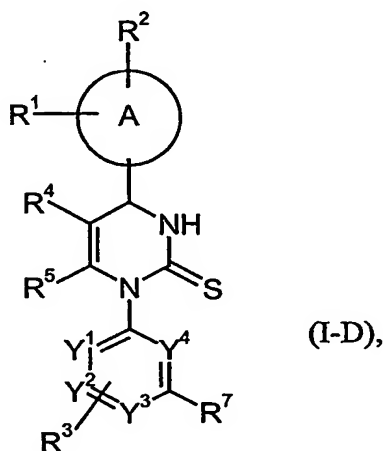
and compounds of general formula (IV)

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wherein R^3 , R^7 , and Y^1 to Y^4 have the meaning indicated in Claims 1 to 15,

5 in the presence of an acid either in a three-component / one-step reaction or sequentially to give compounds of the general formula (I-D)

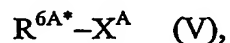


wherein

10 A, R^1 to R^5 , R^7 , and Y^1 to Y^4 have the meaning indicated in Claims 1 to 15,

optionally followed by reaction of the compounds of general formula (I-D) in the presence of a base either

15 [A] with compounds of the general formula (V)



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wherein R^{6A*} has the meaning of R^{6A} as indicated in Claims 1 to 15, but does not represent hydrogen, and X^A represents a leaving group, such as halogen,

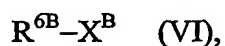
5

to give compounds of the general formula (I-A) or (I-C), respectively,

or

10

[B] with compounds of the general formula (VI)



15

wherein R^{6B} has the meaning indicated in Claims 1 to 15, and X^B represents a leaving group, such as halogen, tosylate, mesylate or sulfate,

to give compounds of the general formula (I-B) or (I-E), respectively.

20

17. The composition containing at least one compound of general formula (I-A) or (I-C), as defined in Claims 1 to 12 and 14, and a pharmacologically acceptable diluent.

25

18. A composition according to Claim 17 for the treatment of acute and chronic inflammatory, ischaemic and/or remodelling processes.

30

19. The process for the preparation of compositions according to Claim 17 and 18 characterized in that the compounds of general formula (I-A) or (I-C), as defined in Claims 1 to 12 and 14, together with customary auxiliaries are brought into a suitable application form.

20. Use of the compounds of general formula (I-A) or (I-C), as defined in Claims 1 to 12 and 14, for the preparation of medicaments.

5 21. Use according to Claim 20 for the preparation of medicaments for the treatment of acute and chronic inflammatory, ischaemic and/or remodelling processes.

10 22. Use according to Claim 21, wherein the process is chronic obstructive pulmonary disease, acute coronary syndrome, acute myocardial infarction or development of heart failure.

15 23. The composition containing at least one compound of general formula (I-B) or (I-E), as defined in Claims 1 to 11, 13 and 15, and a pharmacologically acceptable diluent.

24. A composition according to Claim 23 for the treatment of acute and chronic inflammatory, ischaemic and/or remodelling processes.

20 25. The process for the preparation of compositions according to Claim 23 and 24 characterized in that the compounds of general formula (I-B) or (I-E), as defined in Claims 1 to 11, 13 and 15, together with customary auxiliaries are brought into a suitable application form.

25 26. Use of the compounds of general formula (I-B) or (I-E), as defined in Claims 1 to 11, 13 and 15, for the preparation of medicaments.

30 27. Use according to Claim 26 for the preparation of medicaments for the treatment of acute and chronic inflammatory, ischaemic and/or remodelling processes.

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28. Use according to Claim 27, wherein the process is chronic obstructive pulmonary disease, acute coronary syndrome, acute myocardial infarction or development of heart failure.
- 5 29. Process for controlling chronic obstructive pulmonary disease, acute coronary syndrome, acute myocardial infarction or development of heart failure in humans and animals by administration of a neutrophil elastase inhibitory amount of at least one compound according to any of Claims 1 to 15.